

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
11 November 2004 (11.11.2004)

PCT

(10) International Publication Number  
**WO 2004/096116 A3**

- (51) International Patent Classification<sup>7</sup>: **C07D 471/08**, A61K 31/4995, A61P 13/12, 9/12 (74) Agent: **HOFMANN, Dieter**; Therwilerstrasse 87, CH-4153 Reinach (CH).
- (21) International Application Number: PCT/EP2004/004372 (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 26 April 2004 (26.04.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: PCT/EP03/04622 2 May 2003 (02.05.2003) EP (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- (71) Applicant (for all designated States except US): **ACTELION PHARMACEUTICALS LTD** [CH/CH]; Gewerbestrasse 16, CH-4123 Allschwil (CH).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BEZENCON, Olivier** [CH/CH]; Dürrenmattweg 53, CH-4123 Allschwil (CH). **BUR, Daniel** [CH/CH]; Im Rosengarten 24, CH-4106 Therwil (CH). **FISCHLI, Walter** [CH/CH]; Obertorweg 64, CH-4123 Allschwil (CH). **REMEN, Lubos** [CH/CH]; Kurzellängeweg 28, CH-4123 Allschwil (CH). **RICHARD-BILDSTEIN, Sylvia** [FR/FR]; 12, rue des Beaux Prés, F-68440 Dietwiller (FR). **WELLER, Thomas** [CH/CH]; Hoezlistrasse 58, CH-4102 Binningen (CH). **SIFFERLEN, Thierry** [FR/FR]; 6, rue de Thann, F-68116 Guewenheim (FR).
- Published:  
— with international search report
- (88) Date of publication of the international search report:  
24 March 2005
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DIAZABICYCLONONENE DERIVATIVES

(57) Abstract: The invention relates to novel 3,9-diazabicyclo[3.3.1]nonene derivatives and related compounds and their use as active ingredients in the preparation of pharmaceutical compositions. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and especially their use as inhibitors of renin.



WO 2004/096116 A3

## INTERNATIONAL SEARCH REPORT

Internat .pplication No  
PCT/EP2004/004372

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/08 A61K31/4995 A61P13/12 A61P9/12

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97/09311 A (HOFFMANN LA ROCHE) 13 March 1997 (1997-03-13) cited in the application claims 1,24,24	1,9-11
A	US 3 509 161 A (DOLD OTTO ET AL) 28 April 1970 (1970-04-28) column 2, line 49 - line 61; examples; table ----- -/-	1,9-11



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

9 December 2004

Date of mailing of the international search report

17/12/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel (+31-70) 340-2040, Tx. 31-651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Hass, C

## INTERNATIONAL SEARCH REPORT

Internatid      Application No  
PCT/EP2004/004372

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EL-ABBADY S A ET AL: "ENHANCED REACTIVITY OF PYRIDIN-3-OL TOWARDS 4-PHENYL-1,2,4-TRIAZOLINE 3,5-DIONE: FMO TREATMENT OF THE CYCLOADDITION PROCESS BY ASSED-MO CALCULATIONS METHOD" ZAGAZIG JOURNAL OF PHARMACEUTICAL SCIENCES, FACULTY OF PHARMACY ZAGAZIG UNIVERSITY, CAIRO, EG, vol. 5, no. 1, June 1996 (1996-06), pages 68-74, XP001154254 ISSN: 1110-5089 scheme 1, compounds (4) and (5)	1
A,P	WO 03/093267 A (REMEN LUBOS ; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 13 November 2003 (2003-11-13) cited in the application claims 1,9-12	1,9-12
A,P	WO 2004/002957 A (REMEN LUBOS ; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 8 January 2004 (2004-01-08) cited in the application claims 1,7-10	1,9-12

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP2004/004372

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 10-12 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

information on patent family members

International

Application No

PCT/EP2004/004372

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9709311	A	13-03-1997	AT 242213 T	15-06-2003
			AU 708616 B2	05-08-1999
			AU 6743296 A	27-03-1997
			BR 9610385 A	06-07-1999
			CA 2230931 A1	13-03-1997
			CN 1202152 A	16-12-1998
			CZ 9800684 A3	14-10-1998
			DE 59610509 D1	10-07-2003
			DK 863875 T3	01-12-2003
			WO 9709311 A1	13-03-1997
			EP 0863875 A1	16-09-1998
			ES 2201192 T3	16-03-2004
			HU 9900926 A2	28-09-1999
			IL 123293 A	24-06-2003
			JP 11500447 T	12-01-1999
			MA 23967 A1	01-04-1997
			NO 980954 A	28-04-1998
			NZ 315677 A	28-02-2000
			PL 325425 A1	20-07-1998
			PT 863875 T	31-10-2003
			RU 2167865 C2	27-05-2001
			TR 9800409 T1	21-05-1998
			TW 474932 B	01-02-2002
			US 6051712 A	18-04-2000
			US 6150526 A	21-11-2000
			ZA 9607424 A	07-03-1997
US 3509161	A	28-04-1970	NONE	
WO 03093267	A	13-11-2003	WO 03093267 A1	13-11-2003
WO 2004002957	A	08-01-2004	WO 2004002957 A1	08-01-2004